

**WHAT IS CLAIMED IS:**

1. A process for the production of oxandrolone comprising the steps of:
  - (a) oxidizing mestanolone using IBX to form 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-5 $\alpha$ -androst-1-en-3-one;
  - (b) hydroxylating the 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-5 $\alpha$ -androst-1-en-3-one using osmium tetroxide to form 1 $\alpha$ , 2 $\alpha$ , 17 $\beta$ -trihydroxy-17 $\alpha$ -methylandrostan-3-one;
  - (c) cleaving the 1 $\alpha$ , 2 $\alpha$ , 17 $\beta$ -trihydroxy-17 $\alpha$ -methylandrostan-3-one using sodium metaperiodate to form 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-1-oxo-1,2,-seco-A-nor-5 $\alpha$ -androstan-2-oic acid; and
  - (d) reducing the 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-1-oxo-1,2,-seco-A-nor-5 $\alpha$ -androstan-2-oic acid using sodium borohydride followed by an acid treatment to form oxandrolone.
2. The process of claim 1 wherein at least two by-products are formed in step (a) that are non-reactive to steps (b) and (c).
3. The process of claim 1 wherein step (b) is carried out using osmium tetroxide and N-methylmorpholine N-oxide.
4. The process of claim 1 wherein the acid treatment of step (d) comprises addition of hydrochloric acid.
5. The process of claim 1 wherein the process is performed without the use of lead tetraacetate.
6. A process for the production of oxandrolone comprising the steps of:
  - (a) oxidizing mestanolone to form 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-5 $\alpha$ -androst-1-en-3-one;
  - (b) hydroxylating the 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-5 $\alpha$ -androst-1-en-3-one to form

1 $\alpha$ , 2 $\alpha$ , 17 $\beta$ -trihydroxy-17 $\alpha$ -methylandrostan-3-one;

(c) cleaving the 1 $\alpha$ , 2 $\alpha$ , 17 $\beta$ -trihydroxy-17 $\alpha$ -methylandrostan-3-one to form 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-1-oxo-1,2,-seco-A-nor-5 $\alpha$ -androstan-2-oic acid; and

(d) reducing the 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-1-oxo-1,2,-seco-A-nor-5 $\alpha$ -androstan-2-oic acid to form oxandrolone.

7. The process of claim 6 wherein step (a) is carried out using IBX.

8. The process of claim 6 wherein at least two by-products are formed in step (a) that are non-reactive to steps (b) and (c).

9. The process of claim 6 wherein step (b) is carried out using osmium tetroxide.

10. The process of claim 9 wherein step (b) is carried out using osmium tetroxide and N-methylmorpholine N-oxide.

11. The process of claim 6 wherein step (c) is carried out using sodium metaperiodate.

12. The process of claim 6 wherein step (d) is carried out using sodium borohydride followed by an acid treatment.

13. The process of claim 12 wherein the acid treatment comprises addition of hydrochloric acid.

14. The process of claim 6 wherein the process is performed without the use of lead tetraacetate.

15. A process for the production of oxandrolone comprising the steps of:
- (a) reacting mestanolone with IBX to form 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-5 $\alpha$ -androst-1-en-3-one;
  - (b) reacting the 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-5 $\alpha$ -androst-1-en-3-one with osmium tetroxide and N-methylmorpholine N-oxide to form 1 $\alpha$ , 2 $\alpha$ , 17 $\beta$ -trihydroxy-17 $\alpha$ -methylandrostan-3-one;
  - (c) reacting the 1 $\alpha$ , 2 $\alpha$ , 17 $\beta$ -trihydroxy-17 $\alpha$ -methylandrostan-3-one with sodium metaperiodate to form 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-1-oxo-1,2,-seco-A-nor-5 $\alpha$ -androstan-2-oic acid;
  - (d) forming oxandrolone from the 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-1-oxo-1,2,-seco-A-nor-5 $\alpha$ -androstan-2-oic acid by reacting the 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-1-oxo-1,2,-seco-A-nor-5 $\alpha$ -androstan-2-oic acid with sodium borohydride followed by an acid treatment comprising addition of hydrochloric acid.
16. The process of claim 15 wherein the molar ratio of mestanolone to IBX in step (a) is about 1:1.5.
17. The process of claim 15 wherein step (a) is performed in a 2:1 mixture of toluene to dimethyl sulfoxide, step (b) is performed in a 1:1 mixture of tetrahydrofuran to acetone, and step (c) is performed in a 1:4 mixture of tetrahydrofuran to CH<sub>2</sub>Cl<sub>2</sub>.
18. The process of claim 15 wherein the process is performed without the use of lead tetraacetate.
19. The process of claim 15 wherein at least two by-products are formed in step (a) that are non-reactive to steps (b) and (c).
- ✓  
20. A process for the production of oxandrolone comprising the steps of:
- (a) oxidizing mestanolone using IBX to form 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-5 $\alpha$ -

androst-1-en-3-one;

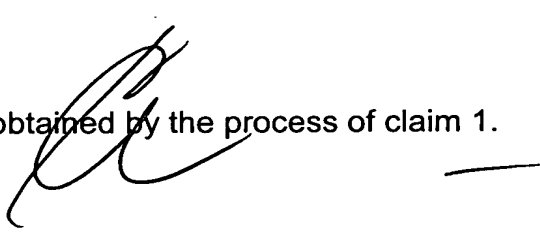
(b) hydroxylating the 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-5 $\alpha$ -androst-1-en-3-one to form 1 $\alpha$ , 2 $\alpha$ , 17 $\beta$ -trihydroxy-17 $\alpha$ -methylandrostan-3-one;

(c) cleaving the 1 $\alpha$ , 2 $\alpha$ , 17 $\beta$ -trihydroxy-17 $\alpha$ -methylandrostan-3-one to form 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-1-oxo-1,2,-seco-A-nor-5 $\alpha$ -androstan-2-oic acid; and

(d) reducing the 17 $\beta$ -hydroxy-17 $\alpha$ -methyl-1-oxo-1,2,-seco-A-nor-5 $\alpha$ -androstan-2-oic acid to form oxandrolone;

wherein at least two by-products are formed in step (a) that are non-reactive to steps (b) and (c).

21. Oxandrolone obtained by the process of claim 1.



10014365-121101